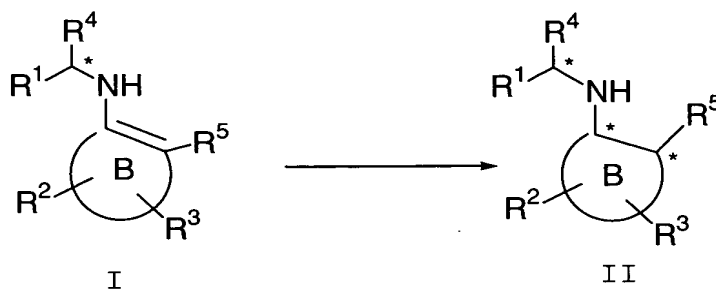


**WHAT IS CLAIMED IS:**

1. A process of forming a compound of formula II, comprising:



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(a) contacting a compound of formula I with sub-stoichiometric amounts of a platinum catalyst in the presence of a solvent under hydrogen pressure and super-stoichiometric amounts of an acid; wherein:

the platinum catalyst is platinum on charcoal (Pt/C) or Adam's catalyst  
 10 (platinum(IV)-dioxide, PtO<sub>2</sub>);

the solvent is a protic solvent or a mixture of protic and aprotic solvents;

ring B is a 4-7 membered non-aromatic carbocyclic or heterocyclic ring  
 consisting of: carbon atoms, 0-3 carbonyl groups, 0-3 double bonds, and 0-2 ring  
 heteroatoms selected from O, N, NR<sup>6</sup>, and S(O)<sub>p</sub>, provided that ring B contains other  
 15 than a S-S, O-O, or S-O bond;

R<sup>1</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-6</sub> alkenylene-Q, or -C<sub>2-6</sub> alkynylene-Q;

R<sup>2</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-6</sub> alkenylene-Q, -C<sub>2-6</sub> alkynylene-Q,  
 -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>O(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q,  
 -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)O(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)NR<sup>a</sup>R<sup>a1</sup>,  
 20 -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, or  
 -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>SO<sub>2</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q;

Q is, independently at each occurrence, H, a C<sub>3-6</sub> carbocycle substituted with 0-3  
 R<sup>d</sup>, or a 5-10 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms  
 selected from the group consisting of N, O, and S(O)<sub>p</sub>, and substituted with 0-3 R<sup>d</sup>;

25 R<sup>3</sup> is H, Cl, F, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, -(CH)<sub>r</sub>-phenyl substituted  
 with 0-3 R<sup>d</sup>, or -(CH)<sub>r</sub>-5-6 membered heterocycle consisting of: carbon atoms and 1-4

heteroatoms selected from the group consisting of N, O, and S(O)<sub>p</sub>, and substituted with 0-3 R<sup>d</sup>;

alternatively, when R<sup>2</sup> and R<sup>3</sup> are attached to the same carbon atom, they form a 3-8 membered carbocyclic or heterocyclic spiro ring C substituted with 0-2 R<sup>c</sup> and consisting of carbon atoms, 0-4 heteroatoms selected from O, N, and S(O)<sub>p</sub>, and 0-2 double bonds, provided that ring C contains other than a S-S, O-O, or S-O bond;

alternatively, when R<sup>2</sup> and R<sup>3</sup> are attached to adjacent carbon atoms, together with the carbon atoms to which they are attached they form a 5-7 membered carbocyclic or heterocyclic ring D substituted with 0-2 R<sup>c</sup> and consisting of carbon atoms, 0-2 heteroatoms selected from the group consisting of N, O, and S(O)<sub>p</sub>, and 0-3 double bonds;

R<sup>4</sup> is H, C<sub>1-6</sub> alkyl substituted with 0-1 R<sup>b</sup>, C<sub>2-6</sub> alkenyl substituted with 0-1 R<sup>b</sup>, or C<sub>2-6</sub> alkynyl substituted with 0-1 R<sup>b</sup>;

R<sup>5</sup> is -CH<sub>2</sub>OR<sup>a</sup> or -C(O)OR<sup>a</sup>;

R<sup>6</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-6</sub> alkenylene-Q, -C<sub>2-6</sub> alkynylene-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)-C<sub>2-6</sub> alkenylene-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)O(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)NR<sup>a</sup>R<sup>a1</sup>, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, or -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>SO<sub>2</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q;

R<sup>a</sup> is, independently at each occurrence, H, C<sub>1-6</sub> alkyl, phenyl, or benzyl;

R<sup>a1</sup> is, independently at each occurrence, H or C<sub>1-6</sub> alkyl;

R<sup>a2</sup> is, independently at each occurrence, C<sub>1-6</sub> alkyl, phenyl, or benzyl;

R<sup>b</sup> is, independently at each occurrence, C<sub>1-6</sub> alkyl substituted with 0-1 R<sup>c</sup>, -OR<sup>a</sup>, -SR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, -NR<sup>a</sup>R<sup>a1</sup>, -C(O)R<sup>a</sup>, -C(O)OR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a1</sup>, -C(S)NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>C(O)NR<sup>a</sup>R<sup>a1</sup>, -OC(O)NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>C(O)OR<sup>a</sup>, -S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a2</sup>, -NR<sup>a</sup>S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -OS(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -S(O)<sub>p</sub>R<sup>a2</sup>, CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>3</sub>, -CHF<sub>2</sub>, -CH<sub>2</sub>F, or phenyl;

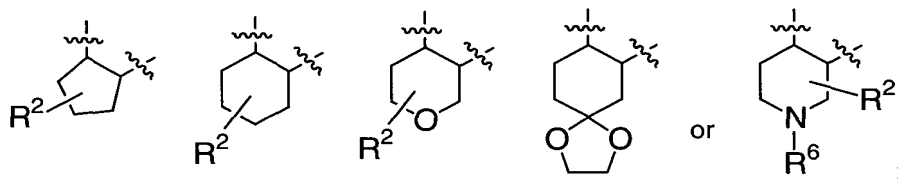
R<sup>c</sup> is, independently at each occurrence, H, C<sub>1-4</sub> alkyl, -OR<sup>a</sup>, Cl, F, Br, I, =O, CF<sub>3</sub>, CN, NO<sub>2</sub>, -C(O)R<sup>a</sup>, -C(O)OR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a</sup>, or -S(O)<sub>p</sub>R<sup>a</sup>;

R<sup>d</sup> is, independently at each occurrence, C<sub>1-6</sub> alkyl, -OR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, -NR<sup>a</sup>R<sup>a1</sup>, -C(O)R<sup>a</sup>, -C(O)OR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a1</sup>, -C(S)NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>C(O)NR<sup>a</sup>R<sup>a1</sup>,

-OC(O)NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>C(O)OR<sup>a</sup>, -S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a2</sup>, -NR<sup>a</sup>S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -OS(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -S(O)<sub>p</sub>R<sup>a2</sup>, CF<sub>3</sub>, -CF<sub>2</sub>CF<sub>3</sub>, C<sub>3-10</sub> carbocycle, or a 5-6 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and S(O)<sub>p</sub>;

- 5           p, at each occurrence, is selected from 0, 1, and 2;  
              r, at each occurrence, is selected from 0, 1, 2, 3, and 4; and  
              s, at each occurrence, is selected from 0, 1, 2, 3, and 4.

- 10    2. A process according to Claim 1, to form a compound of formula II, wherein:  
           ring B is:



R<sup>1</sup> is phenyl substituted with 0-3 R<sup>d</sup>;

R<sup>2</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-4</sub> alkenylene-Q, -C<sub>2-4</sub> alkynylene-Q,

- 15   -C(O)(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -C(O)O(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -C(O)NR<sup>a</sup>R<sup>a1</sup>, -C(O)NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q,  
      -S(O)<sub>p</sub>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, or -SO<sub>2</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q;

Q is, independently at each occurrence, H, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, tetrahydro-2H-pyran-4-yl, or phenyl substituted with 0-2 R<sup>d</sup>;

R<sup>4</sup> is C<sub>1-4</sub> alkyl;

- 20       R<sup>5</sup> is -CH<sub>2</sub>OR<sup>a</sup> or -C(O)OR<sup>a</sup>;

R<sup>6</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-4</sub> alkenylene-Q, -C<sub>2-4</sub> alkynylene-Q,

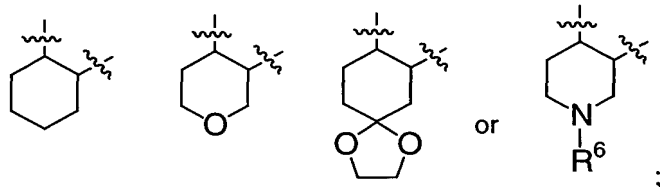
-C(O)(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -C(O)O(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -C(O)NR<sup>a</sup>R<sup>a1</sup>, -C(O)NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q,  
      -S(O)<sub>p</sub>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, or -SO<sub>2</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q; and

R<sup>d</sup> is, independently at each occurrence, C<sub>1-6</sub> alkyl, -OR<sup>a</sup>, Cl, F, Br, =O,

- 25   -NR<sup>a</sup>R<sup>a1</sup>, -C(O)R<sup>a</sup>, -C(O)OR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a1</sup>, -S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>S(O)<sub>2</sub>R<sup>a2</sup>,  
      -S(O)<sub>p</sub>R<sup>a2</sup>, CF<sub>3</sub> or phenyl.

3. A process according to Claim 2, to form a compound of formula II, wherein:

ring B is:



$R^1$  is phenyl;

5  $R^4$  is  $C_{1-4}$  alkyl;

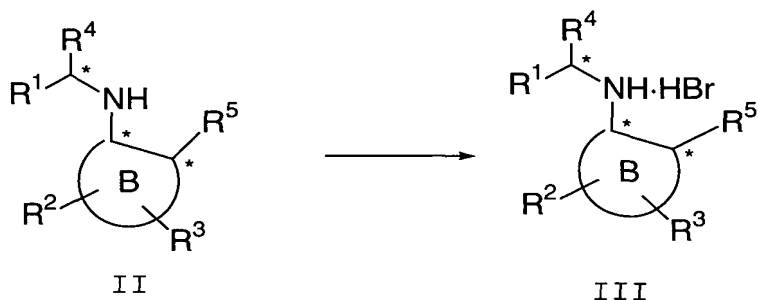
$R^5$  is  $-C(O)OR^a$ ;

$R^6$  is H, methyl, isopropyl, butyl, isobutyl, neopentyl, allyl, 3-butenyl, 2-propynyl, 2-butyryl, 3-butyryl, acetyl, t-butylcarbonyl, 4-pentenyl, t-butoxycarbonyl, methoxycarbonyl, methylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl, 10 phenyl, 4-F-phenyl, 4-methoxy-phenyl, cyclopropylmethyl, cyclopentyl, and tetrahydro-2H-pyran-4-yl; and

$R^a$  is  $C_{1-4}$  alkyl.

15 4. A process according to Claim 1, further comprising:

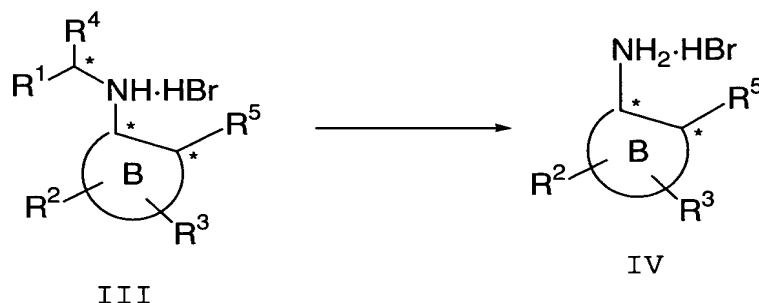
(b) contacting the product from (a) with a hydrogen bromide solution in an acid to yield compound III;



20

5. A process according to Claim 2, further comprising:

(c) contacting the product from (b) with palladium on charcoal catalyst (Pd/C) in the presence of a solvent under hydrogen pressure to yield compound IV; wherein the solvent is a protic solvent or a mixture of protic and aprotic solvents;



5

6. A process according to Claim 1, wherein in (a):

the protic solvent is selected from: methanol, ethanol, propanol, 2-butanol, water,  
 10 ethylene glycol, propylene glycol, and butylene glycol; and

the aprotic solvent is selected from: tetrahydrofuran, dibutyl ether, 1,2-  
 dimethoxyethane, dimethoxymethane, and diethoxymethane.

15 7. A process according to Claim 6, wherein in (a):

the protic solvent is selected from: methanol, ethanol, propanol, and 2-butanol;  
 and

the aprotic solvent is selected from: tetrahydrofuran and dimethoxymethane.

20

8. A process according to Claim 7, wherein in (a):

the protic solvent is methanol; and  
 the aprotic solvent is tetrahydrofuran.

25

9. A process according to Claim 1, wherein in (a):

the hydrogen pressure is 10 to 400 psig.

10. A process according to Claim 9, wherein in (a):  
the hydrogen pressure is 100 to 300 psig.
- 5 11. A process according to Claim 10, wherein in (a):  
the hydrogen pressure is 250 psig.
12. A process according to Claim 1, wherein in (a):  
10 the acid is selected from: formic acid, acetic acid, chloroacetic acid,  
dichloroacetic acid, trichloroacetic acid, trifluoroacetic acid, propionic acid, isobutyric  
acid, hydrochloric acid, and sulfuric acid.
- 15 13. A process according to Claim 12, wherein in (a):  
the acid is acetic acid.
14. A process according to Claim 2, wherein in (b):  
20 the acid is acetic acid or formic acid.
15. A process according to Claim 14, wherein in (b):  
the acid is acetic acid.  
25
16. A process according to Claim 3, wherein in (c):  
the protic solvent is selected from: methanol, ethanol, propanol, 2-butanol, water,  
ethylene glycol, propylene glycol, and butylene glycol; and  
30 the aprotic solvent is selected from: tetrahydrofuran, dibutyl ether, 1,2-  
dimethoxyethane, dimethoxymethane, and diethoxymethane.
17. A process according to Claim 16, wherein in (c):

the protic solvent is selected from: methanol, ethanol, propanol, and 2-butanol;  
and

the aprotic solvent is selected from: tetrahydrofuran and dimethoxymethane.

5

18. A process according to Claim 17, wherein in (c):

the protic solvent is methanol; and

the aprotic solvent is tetrahydrofuran.

10

19. A process according to Claim 3, wherein in (c):

the hydrogen pressure is 20 to 300 psig.

15

20. A process according to Claim 19, wherein in (c):

the hydrogen pressure is 50 to 150 psig.

20

21. A process according to Claim 20, wherein in (c):

the hydrogen pressure is 100 psig.

25

22. A process according to Claim 1, wherein:

the diastereomeric ratio of the product of (a), Compound of formula II, is at least

60%.

30

23. A process according to Claim 22, wherein:

the diastereomeric ratio of the product of (a), Compound of formula II, is at least

80%.

24. A process according to Claim 3, wherein:

the diastereomeric ratio of the product of (c), Compound of formula IV, is at least 60%; and, the enantiomeric ratio of the product of (c), Compound of formula IV, is at least 60%.

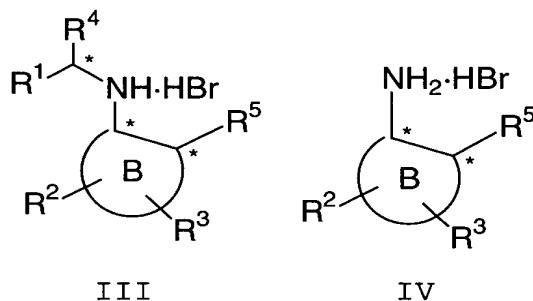
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25. A process according to Claim 24, wherein:

the diastereomeric ratio of the product of (c), Compound of formula IV, is at least 80%; and  
the enantiomeric ratio of the product of (c), Compound of formula IV, is at least 80%.

10

26. A compound of formula III or IV:



15

wherein:

ring B is a 4-7 membered non-aromatic carbocyclic or heterocyclic ring consisting of: carbon atoms, 0-3 carbonyl groups, 0-3 double bonds, and 0-2 ring heteroatoms selected from O, N, NR<sup>6</sup>, and S(O)<sub>p</sub>, provided that ring B contains other than a S-S, O-O, or S-O bond;

20

R<sup>1</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-6</sub> alkenylene-Q, or -C<sub>2-6</sub> alkynylene-Q;

R<sup>2</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-6</sub> alkenylene-Q, -C<sub>2-6</sub> alkynylene-Q,  
-(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>O(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>C(O)(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q,  
-(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>C(O)O(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>C(O)NR<sup>a</sup>R<sup>a</sup>1,  
-(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>C(O)NR<sup>a</sup>(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q, or  
-(CR<sup>a</sup>R<sup>a</sup>1)<sub>r</sub>SO<sub>2</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a</sup>1)<sub>s</sub>-Q;

25



Q is, independently at each occurrence, H, a C<sub>3-6</sub> carbocycle substituted with 0-3 R<sup>d</sup>, or a 5-10 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and S(O)<sub>p</sub>, and substituted with 0-3 R<sup>d</sup>;

5 R<sup>3</sup> is H, Cl, F, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, -(CH)<sub>r</sub>-phenyl substituted with 0-3 R<sup>d</sup>, or -(CH)<sub>r</sub>-5-6 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group consisting of N, O, and S(O)<sub>p</sub>, and substituted with 0-3 R<sup>d</sup>;

10 alternatively, when R<sup>2</sup> and R<sup>3</sup> are attached to the same carbon atom, they form a 3-8 membered carbocyclic or heterocyclic spiro ring C substituted with 0-2 R<sup>c</sup> and consisting of carbon atoms, 0-4 heteroatoms selected from O, N, and S(O)<sub>p</sub>, and 0-2 double bonds, provided that ring C contains other than a S-S, O-O, or S-O bond;

15 alternatively, when R<sup>2</sup> and R<sup>3</sup> are attached to adjacent carbon atoms, together with the carbon atoms to which they are attached they form a 5-7 membered carbocyclic or heterocyclic ring D substituted with 0-2 R<sup>c</sup> and consisting of carbon atoms, 0-2 heteroatoms selected from the group consisting of N, O, and S(O)<sub>p</sub>, and 0-3 double bonds;

R<sup>4</sup> is H, C<sub>1-6</sub> alkyl substituted with 0-1 R<sup>b</sup>, C<sub>2-6</sub> alkenyl substituted with 0-1 R<sup>b</sup>, or C<sub>2-6</sub> alkynyl substituted with 0-1 R<sup>b</sup>;

R<sup>5</sup> is -CH<sub>2</sub>OR<sup>a</sup> or -C(O)OR<sup>a</sup>;

20 R<sup>6</sup> is Q, -C<sub>1-6</sub> alkylene-Q, -C<sub>2-6</sub> alkenylene-Q, -C<sub>2-6</sub> alkynylene-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)-C<sub>2-6</sub> alkenylene-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)O(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)NR<sup>a</sup>R<sup>a1</sup>, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>C(O)NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q, or -(CR<sup>a</sup>R<sup>a1</sup>)<sub>r</sub>SO<sub>2</sub>NR<sup>a</sup>(CR<sup>a</sup>R<sup>a1</sup>)<sub>s</sub>-Q;

25 R<sup>a</sup> is, independently at each occurrence, H, C<sub>1-6</sub> alkyl, phenyl, or benzyl;

R<sup>a1</sup> is, independently at each occurrence, H or C<sub>1-6</sub> alkyl;

R<sup>a2</sup> is, independently at each occurrence, C<sub>1-6</sub> alkyl, phenyl, and benzyl;

30 R<sup>b</sup> is, independently at each occurrence, C<sub>1-6</sub> alkyl substituted with 0-1 R<sup>c</sup>, -OR<sup>a</sup>, -SR<sup>a</sup>, Cl, F, Br, I, =O, CN, NO<sub>2</sub>, -NR<sup>a</sup>R<sup>a1</sup>, -C(O)R<sup>a</sup>, -C(O)OR<sup>a</sup>, -C(O)NR<sup>a</sup>R<sup>a1</sup>, -C(S)NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>C(O)NR<sup>a</sup>R<sup>a1</sup>, -OC(O)NR<sup>a</sup>R<sup>a1</sup>, -NR<sup>a</sup>C(O)OR<sup>a</sup>, -S(O)<sub>2</sub>NR<sup>a</sup>R<sup>a1</sup>,

$-\text{NR}^{\text{a}}\text{S}(\text{O})_2\text{R}^{\text{a}2}$ ,  $-\text{NR}^{\text{a}}\text{S}(\text{O})_2\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{OS}(\text{O})_2\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{S}(\text{O})_p\text{R}^{\text{a}2}$ ,  $\text{CF}_3$ ,  $-\text{CF}_2\text{CF}_3$ ,  $-\text{CHF}_2$ ,  $-\text{CH}_2\text{F}$ , or phenyl;

$\text{R}^{\text{c}}$  is, independently at each occurrence,  $\text{H}$ ,  $\text{C}_{1-4}$  alkyl,  $-\text{OR}^{\text{a}}$ ,  $\text{Cl}$ ,  $\text{F}$ ,  $\text{Br}$ ,  $\text{I}$ ,  $=\text{O}$ ,  $\text{CF}_3$ ,  $\text{CN}$ ,  $\text{NO}_2$ ,  $-\text{C}(\text{O})\text{R}^{\text{a}}$ ,  $-\text{C}(\text{O})\text{OR}^{\text{a}}$ ,  $-\text{C}(\text{O})\text{NR}^{\text{a}}\text{R}^{\text{a}}$ , or  $-\text{S}(\text{O})_p\text{R}^{\text{a}}$ ;

- 5  $\text{R}^{\text{d}}$  is, independently at each occurrence,  $\text{C}_{1-6}$  alkyl,  $-\text{OR}^{\text{a}}$ ,  $\text{Cl}$ ,  $\text{F}$ ,  $\text{Br}$ ,  $\text{I}$ ,  $=\text{O}$ ,  $\text{CN}$ ,  $\text{NO}_2$ ,  $-\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{C}(\text{O})\text{R}^{\text{a}}$ ,  $-\text{C}(\text{O})\text{OR}^{\text{a}}$ ,  $-\text{C}(\text{O})\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{C}(\text{S})\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{NR}^{\text{a}}\text{C}(\text{O})\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{OC}(\text{O})\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{NR}^{\text{a}}\text{C}(\text{O})\text{OR}^{\text{a}}$ ,  $-\text{S}(\text{O})_2\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{NR}^{\text{a}}\text{S}(\text{O})_2\text{R}^{\text{a}2}$ ,  $-\text{NR}^{\text{a}}\text{S}(\text{O})_2\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{OS}(\text{O})_2\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{S}(\text{O})_p\text{R}^{\text{a}2}$ ,  $\text{CF}_3$ ,  $-\text{CF}_2\text{CF}_3$ ,  $\text{C}_{3-10}$  carbocycle, or a 5-6 membered heterocycle consisting of: carbon atoms and 1-4 heteroatoms selected from the group
- 10 consisting of  $\text{N}$ ,  $\text{O}$ , and  $\text{S}(\text{O})_p$ ;

$p$ , at each occurrence, is selected from 0, 1, and 2;

$r$ , at each occurrence, is selected from 0, 1, 2, 3, and 4; and

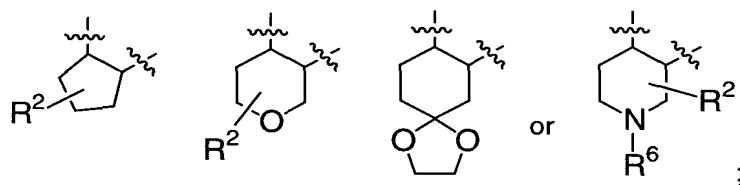
$s$ , at each occurrence, is selected from 0, 1, 2, 3, and 4;

provided that ring B is other than cyclohexane.

15

27. A compound of formula III or IV, according to Claim 26, wherein:

ring B is:



- 20  $\text{R}^1$  is phenyl substituted with 0-3  $\text{R}^{\text{d}}$ ;

$\text{R}^2$  is  $\text{Q}$ ,  $-\text{C}_{1-6}$  alkylene- $\text{Q}$ ,  $-\text{C}_{2-4}$  alkenylene- $\text{Q}$ ,  $-\text{C}_{2-4}$  alkynylene- $\text{Q}$ ,  $-\text{C}(\text{O})(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ,  $-\text{C}(\text{O})\text{O}(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ,  $-\text{C}(\text{O})\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{C}(\text{O})\text{NR}^{\text{a}}(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ,  $-\text{S}(\text{O})_p(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ , or  $-\text{SO}_2\text{NR}^{\text{a}}(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ;

- $\text{Q}$  is, independently at each occurrence,  $\text{H}$ , cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, tetrahydro-2H-pyran-4-yl, or phenyl substituted with 0-2  $\text{R}^{\text{d}}$ ;
- 25

$\text{R}^4$  is  $\text{C}_{1-4}$  alkyl;

$\text{R}^5$  is  $-\text{CH}_2\text{OR}^{\text{a}}$  or  $-\text{C}(\text{O})\text{OR}^{\text{a}}$ ;

$\text{R}^6$  is  $\text{Q}$ ,  $-\text{C}_{1-6}$  alkylene- $\text{Q}$ ,  $-\text{C}_{2-4}$  alkenylene- $\text{Q}$ ,  $-\text{C}_{2-4}$  alkynylene- $\text{Q}$ ,  $-\text{C}(\text{O})(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ,  $-\text{C}(\text{O})\text{O}(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ,  $-\text{C}(\text{O})\text{NR}^{\text{a}}\text{R}^{\text{a}1}$ ,  $-\text{C}(\text{O})\text{NR}^{\text{a}}(\text{CR}^{\text{a}}\text{R}^{\text{a}1})_s-\text{Q}$ ,

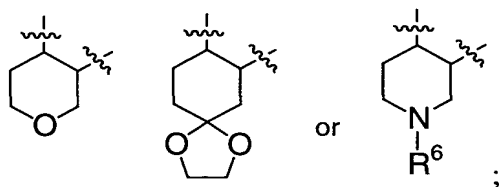
$-\text{S}(\text{O})_p(\text{CR}^a\text{R}^{a1})_s-\text{Q}$ , or  $-\text{SO}_2\text{NR}^a(\text{CR}^a\text{R}^{a1})_s-\text{Q}$ ; and

$\text{R}^d$  is, independently at each occurrence,  $\text{C}_{1-6}$  alkyl,  $-\text{OR}^a$ ,  $\text{Cl}$ ,  $\text{F}$ ,  $\text{Br}$ ,  $=\text{O}$ ,  $-\text{NR}^a\text{R}^{a1}$ ,  $-\text{C}(\text{O})\text{R}^a$ ,  $-\text{C}(\text{O})\text{OR}^a$ ,  $-\text{C}(\text{O})\text{NR}^a\text{R}^{a1}$ ,  $-\text{S}(\text{O})_2\text{NR}^a\text{R}^{a1}$ ,  $-\text{NR}^a\text{S}(\text{O})_2\text{R}^{a2}$ ,  $-\text{S}(\text{O})_p\text{R}^{a2}$ ,  $\text{CF}_3$  or phenyl.

5

28. A compound of formula III or IV, according to Claim 27, wherein:

ring B is:



10

$\text{R}^1$  is phenyl;

$\text{R}^4$  is  $\text{C}_{1-4}$  alkyl;

$\text{R}^5$  is  $-\text{C}(\text{O})\text{OR}^a$ ;

$\text{R}^6$  is H, methyl, isopropyl, butyl, isobutyl, neopentyl, allyl, 3-butenyl,

2-propynyl, 2-butyryl, 3-butyryl, acetyl, t-butylcarbonyl, 4-pentenyl, t-butoxycarbonyl,

15

methoxycarbonyl, methylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl,

phenyl, 4-F-phenyl, 4-methoxy-phenyl, cyclopropylmethyl, cyclopentyl, or tetrahydro-2H-pyran-4-yl; and

$\text{R}^a$  is  $\text{C}_{1-4}$  alkyl.

20